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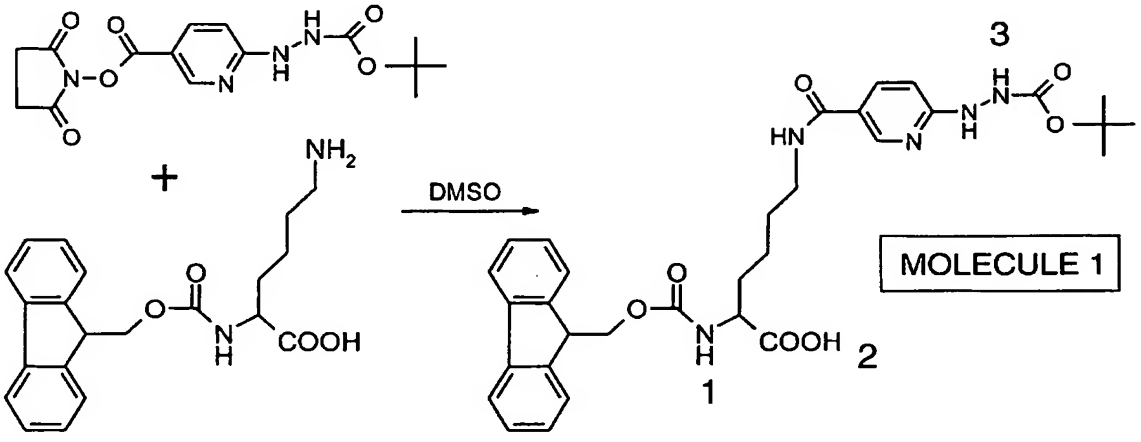
(54) Title: METAL BINDING PRECURSORS FOR THE SYNTHESIS OF PEPTIDE-METAL CONJUGATES




(57) Abstract: Specific metal-chelating precursors incorporating a pendant protected (e.g. with Fmoc) amino acid functionality are synthesised. The pendant amino acid functionality allows the chelator to be inserted into a synthetic peptide sequence during standard solid-phase peptide synthesis at any predetermined position in the sequence, in place of lysine or any other amino acid, or in addition to native amino acids. An example is a conjugate incorporating Fmoc-protected L-lysine and the technetium-binding group hynic (hydrazinonicotinamide), shown as molecule 1 in Figure 1 of the accompanying drawing. These molecules permit synthetic approaches with greater flexibility and control of the site of labelling than conventional methods. They are particularly suited to development of combinatorial libraries of radiolabelled peptides, which will be especially significant in the development of radiopharmaceuticals targeted towards the many new cancer-related targets likely to be identified in the near future through developments in proteomics.

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